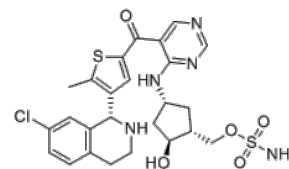


**Product Name** : TAK-981  
**Cat. No.** : PC-72802  
**CAS No.** : 1858276-04-6  
**Molecular Formula** : C<sub>25</sub>H<sub>28</sub>ClN<sub>5</sub>O<sub>5</sub>S<sub>2</sub>  
**Molecular Weight** : 578.099  
**Target** : Ubiquitin-activating Enzyme (E1)  
**Solubility** : 10 mM in DMSO (5.8 mg/mL)



## Biological Activity

TAK-981 (TAK981, Subasumstat) is a first-in-class, potent, highly selective **SUMO-activating enzyme (SAE)** inhibitor with IC<sub>50</sub> of 1 nM, >1000-fold selectivity against UAE and NAE.

TAK-981 also exhibits potent and prolonged cellular pathway inhibition with improved selectivity over NAE.

TAK-981 reduces SUMO2/3 conjugation in xenograft tumors following IV administration.

TAK-981 decreased SUMOylation in PDAC cells at the nanomolar range, thereby causing a G2/M cell cycle arrest, mitotic failure and chromosomal segregation defects.

TAK-981 efficiently limited tumour burden in the KPC3 syngeneic mouse model without evidence of systemic toxicity.

In vivo treatment with TAK-981 enhanced the proportions of activated CD8 T cells and natural killer (NK) cells but transiently decreased B cell numbers in tumour, peripheral blood, spleen and lymph nodes.

## References

Langston SP, et al. *J Med Chem*. 2021 Mar 11;64(5):2501-2520.

Du L, et al. *J Exp Clin Cancer Res*. 2022 Jan 4;41(1):8.

Kumar S, et al. *Gut*. 2022 Jan 24;gutjnl-2021-324834.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

E-mail: tech@probechem.com